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PATENT

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IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

Applicant: Jackson et al. Art Unit: 1625  
Serial No.: 09/582,059 Examiner: Evelyn Huang  
Filed: November 20, 2000 Customer No.: 21559  
Title: Therapeutic Compounds

Assistant Commissioner for Patents  
Washington, D.C. 20231

REPLY TO OFFICE ACTION

In reply to the Office Action that was mailed in the above-captioned case on February 12, 2001, applicants submit the following Amendment and Remarks.

AMENDMENT

Kindly amend the application as follows.

In the Specification:

Replace the third table on page 10, lines 17-20 with the following.

A!

R	X	Name
CH <sub>3</sub>	H	Eptazocine
Me <sub>2</sub> C=CHCH <sub>2</sub> -	CH <sub>3</sub>	Pentazocine
CH <sub>3</sub>	CH <sub>3</sub>	Metazocine

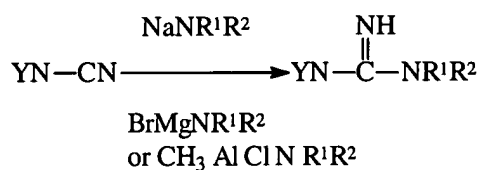
Replace the paragraph on page 12, lines 2-3 with the following.

A2

wherein L is a suitable leaving group, for example  $\text{CH}_3\text{O}$ ,  $\text{CH}_3\text{S}$ ,  $\text{CH}_3\text{SO}_2$ ,  $\text{SO}_3\text{H}$ , pyrazole or

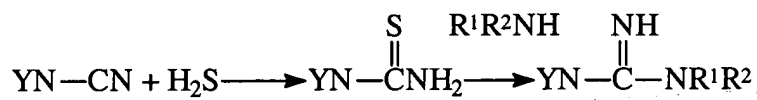
Replace the reaction shown on page 13, lines 15-18 with the following.

A3



Replace the reaction shown on page 12, line 17 with the following.

A4



Replace the paragraph on page 11, lines 11-17 with the following.

A5

According to a third aspect, the invention provides a method of reducing the central nervous system activity of an opioid compound, comprising the step of linking the nitrogen atom at position 17 of said compound to a spacer group, which in turn is linked to a charged group. Optionally the linkage to the charged group is via a spacer group.

Replace the paragraph on page 14, lines 22-26 with the following.

A6

The dosage to be used will depend on the nature and severity of the condition to be treated, and will be at the discretion of the attending physician or veterinarian. The most suitable dosage for a specific condition can be determined using normal clinical trial procedures.